			welcome to SIN intelligational
NEWS 1 NEWS 2			Web Page URLs for STN Seminar Schedule - N. America
	N	10	"Ask CAS" for self-help around the clock
NEWS 3	May		EXTEND option available in structure searching
NEWS 4	May		Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May	21	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May	27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun	28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun	28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul	12	BEILSTEIN enhanced with new display and select options,
	0 0.1		resulting in a closer connection to BABS
NEWS 10	Jul	30	BEILSTEIN on STN workshop to be held August 24 in conjunction
112112 13	0 41		with the 228th ACS National Meeting
NEWS 11	AUG	02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display
			fields
NEWS 12	AUG	02	CAplus and CA patent records enhanced with European and Japan
110170 10		0.0	Patent Office Classifications
NEWS 13	AUG	02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG	02	The Analysis Edition of STN Express with Discover!
			(Version 7.01 for Windows) now available
NEWS 15	AUG	04	
			STN Express with Discover! will change September 1, 2004
NEWS EXP	RESS	JU	LY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
		MA	CINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
		AN	D CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOU	RS	ST	N Operating Hours Plus Help Desk Availability
NEWS INT	'ER	Ge	neral Internet Information
NEWS LOG	IN	We	lcome Banner and News Items
NEWS PHO	NE	Di	rect Dial and Telecommunication Network Access to STN
NEWS WWW	_	CA	S World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 08:36:01 ON 09 AUG 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

0.21
0.21

FILE 'REGISTRY' ENTERED AT 08:36:10 ON 09 AUG 2004
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STRUCTURE FILE UPDATES: 7 AUG 2004 HIGHEST RN 723734-66-5 DICTIONARY FILE UPDATES: 7 AUG 2004 HIGHEST RN 723734-66-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=>

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

=> s 11

SAMPLE SEARCH INITIATED 08:40:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END: Y FULL SEARCH INITIATED 08:40:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 67 TO ITERATE

100.0% PROCESSED 67 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

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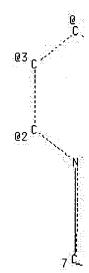
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L4 STRUCTURE UPLOADED

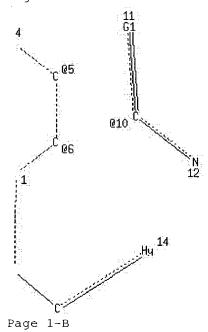
=> a 3.4

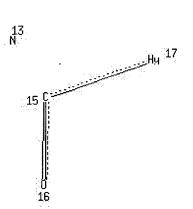
L4 HAS NO ANSWERS

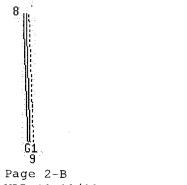
L4 STR



Page 1-A







Page 2-B
VAR G1=18/19
VPA 10-2/3/4/5/6 S
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NSPEC IS R
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NSPEC IS R
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NSPEC IS C
              AT
                   11
NSPEC IS RC
              AT
                  12
NSPEC IS RC
              AT
                  13
NSPEC IS C
                   14
NSPEC IS RC
               AT
                   15
      IS C
NSPEC
               AT
                   16
NSPEC
       IS C
                TA
                   17
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MLEVEL IS CLASS AT
                    7 8 10 15 16 18 19
GGCAT IS UNS AT 14
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E1 S AT 14
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#### GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

## => 8 14

SAMPLE SEARCH INITIATED 08:44:59 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 30 TO ITERATE

100.0% PROCESSED 30 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 272 TO 928
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

# => s 14 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 08:45:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 587 TO ITERATE

100.0% PROCESSED 587 ITERATIONS 13 ANSWERS SEARCH TIME: 00.00.01

L6 13 SEA SSS FUL L4

=> file hcaplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
316.30
316.51

FILE 'HCAPLUS' ENTERED AT 08:45:08 ON 09 AUG 2004

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FILE COVERS 1907 - 9 Aug 2004 VOL 141 ISS 7 FILE LAST UPDATED: 8 Aug 2004 (20040808/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 7 L6

=> d 17, ibib abs fhitstr, 1-7

L7 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text

ACCESSION NUMBER: 2003:317444 HCAPLUS

DOCUMENT NUMBER: 138:343853

TITLE: Preparation of compositions containing pyridinium

derivatives for cosmetic and therapeutic applications

INVENTOR(S): Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India

SOURCE: Eur. Pat. Appl., 104 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 1304101	A1	20030423	EP 2001-204295		20011112
R: AT, BE, CH,	DE, DE	K, ES, FR,	GB, GR, IT, LI, LU, NI	٠, ا	SE, MC, PT,
IE, SI, LT,	LV, FI	I, RO, MK,	CY, AL, TR		*
AU 766824	B2	20031023	AU 2001-31376		20010328
AU 2001031376	A5	20021003			
JP 2003137783	A2	20030514	JP 2001-344128		20011109
CN 1411809	A	20030423	CN 2001-137440		20011112
CN 1411800	A	20030423	CN 2001-137441		20011112
BR 2001005143	A	20040713	BR 2001-5143		20011112
PRIORITY APPLN. INFO.:			IN 2001-CA605	A	20011019
			IN 2001-CA620	A	20011101

OTHER SOURCE(S): MARPAT 138:343853

AB The invention discloses a new class of compds. particularly pyridinium derivs., which have been found to exhibit triple function of a free radical scavenger (antioxidant), AGE (advanced glycation end product)

breaker and AGE inhibitor, and cosmetic compn. comprising these compds. contained in a cosmetically acceptable carrier. The invention also discloses a method of cosmetic application by applying such compns. invention further discloses a pharmaceutical compn., comprising the compds. useful in scavenging free radicals from the body cells of a mammal, a method of scavenging free radicals from the body cells of a mammal and a method of treating of diseases caused by accumulation of free radicals in the body cells of a mammal by administering a compn. made with the compds. The invention in addn., also discloses compn. and method for inhibiting AGE in a mammal by use of the compds. of the same group. Thus, a compn. contained pyridinium compd. 0.25, oleic acid 10.0, propylene glycol 70.0, Tween-80 0.1, and EtOH qs to 100.0%.

# IT 333797-95-8P

RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of compns. contq. pyridinium derivs. for cosmetic and therapeutic applications)

RN 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & 0 & 0 & 0 \\ \hline & C - CH_2 & \bullet & \bullet \\ \hline & C - NH - NH - C & \bullet & \bullet \\ \hline \end{array}$$

# 2 Br

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### L7ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:118597 HCAPLUS

DOCUMENT NUMBER:

138:153445

TITLE:

Preparation of N-oxoethylpyridinium compounds for the

management of age-related and diabetic vascular

complications

INVENTOR(S):

Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S):

Torrent Pharmaceuticals Ltd., India

SOURCE:

U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of U.S.

Ser. No. 801,778, abandoned.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIND DATE				APPLICATION NO.						DATE			
<u>US 2003</u>		A1	A1 20030213					001-	9397	02		20010828					
US 6608094					B2 20030819												
WO 2001		A1 20010412					WO 1999-IB1683					19991015					
w:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
	CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	
	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	

MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 6462057 B1 20021008 US 2000-598410 20000621 US 2001018524 A120010830 US 2001-801778 20010309 US 2002103228 A120020801 US 2001-995731 20011129 A 19991006 PRIORITY APPLN. INFO.: IN 1999-CA828 A2 19991015 WO 1999-IB1683 US 2000-598410 A2 20000621 US 2001-801778 B2 20010309 IN 1999-CA827 A 19991006 WO 1999-IB1687 · Al 19991015 US 2000-590143 A2 20000609 US 2001-939702 A1 20010828

OTHER SOURCE(S):

MARPAT 138:153445

GΙ

Title compds. [I; R1 = R4R5, NR7NR7R9; R2 = F, C1, Br, iodo, acyl, AΒ CONR7R10, CO2R7, NR7R10, SR7, etc.; R3 = R7, OR7, NR7R10, N:CR7R10, etc.; R4 = NR7R60, NR7R6NR7, OR60, OR6NR7; R6 = alkyl; R5 = alkyl aryl, heteroaryl, COR7, SO2R7, CSNHR7, C(NH)NHR7, COR10, etc.; R7 = H, alkyl, aryl, heteroaryl; R9 = H, alkyl, aryl, heteroaryl, COR10, SO2R10, etc.; R10 = H, alkyl, aryl, heteroaryl; X = halide, OAc, ClO4, BF4, PF6, etc.; m = 0-2; with provisos], were prepd. Thus, N,N'-bis(nicotinyl)hydrazine and phenacyl bromide were refluxed 6 h in MeOH/iPrOH to give 60% N, N'-bis[3-carbonyl-1-(2-phenyl-2-oxoethyl)pyridinium]hydrazine dibromide. Tested I gave 13-92.64% advanced glycation end product (AGE) breaking at 1-50 mM. Novel compds. of the pyridinium series useful for the management of diabetes and aging-related vascular and neurovascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, inflammatory disorders, immunol. disorders, oxidative stress, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, of the general formula I, or pharmaceutically acceptable salts thereof, wherein, R1, R2, R3, X and m are as defined in the specification.

### IT <u>333797-95-8</u>P

RN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of N-oxoethylpyridinium compds. for the management of age-related and diabetic vascular complications) 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & \overset{0}{\longrightarrow} C - CH_2 \overset{\bullet}{\longrightarrow} N \\ \end{array}$$

# 2 Br -

ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Text

ACCESSION NUMBER:

2002:770131 HCAPLUS

DOCUMENT NUMBER:

137:279097

TITLE:

Preparation of novel pyridinium compounds for the management of aging-related and diabetic vascular

complications

INVENTOR(S):

Sankaranarayanan, Alangudi

PATENT ASSIGNEE (S):

Torrent Pharmaceuticals, Ltd., India

SOURCE:

U.S., 10 pp., Cont.-in-part of WO 2001 25,208.

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE					APPLICATION NO.						DATE			
US	6462	057			B1 20021008				1	US 2000-598410						20000621				
	WO 2001025208								WO 1999-IB1683											
	w:	AE,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN	Ι,	CR,	CU,		
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU	Ι,	ID,	IL,		
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU	Ι,	LV,	MA,		
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE	١,	SG,	SI,		
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZP	١,	ZW,	AM,		
		AZ,	BY,	KG,	ΚZ,	. MD,	RU,	ТJ,	TM											
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH	Ι,	CY,	DE,		
		DK,	ES,	FI,	FR,	GΒ,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF	`,	ВJ,	CF,		
/		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG							
US	2001	0185	24		A1		2001	0830		US 2	001-	8017	78			20	010	309		
US	2003	0326	60		A1		2003	0213		US 2	001-	9397	02			20	010	828		
US	6608	094			В2		2003	0819												
US	2002	1032	28		A1		2002	0801		US 2	001-	9957	<u>31</u>			20	011	129		
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PRIORIT	Y APP	LN.	INFO	.:						IN 1	999-	CA82	8		A	19	991	006		
									•	WO 1	999-	IB16	83		A2	19	991	015		
										IN 1	999-	CA82	7		A	19	991	006		
										WO 1	999-	IB16	<u>87</u>		A1	19	991	015		
										US 2	000-	5901	43		Α2	20	000	609		
										US 2	000-	5984	10		Α2	20	000	621		
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										US 2	001-	9397	02		A1	20	010	828		
OTHER SO	OTHER SOURCE(S):					PAT	137:	27909	97		•									

GΙ

The title compds. [I; R1 = (un)substituted hydrazino, 2-benzyloxyethoxy, 2-benzyloxyethylamino, etc.; R2 = halo, NO2, alkyl, etc.; R3 = 2-thienyl, phenylamino, Ph, etc.; X = halide, acetate, perchlorate, etc.; m = 0-2; with the provisos], useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, were prepd. and formulated. Thus, reacting N,N'-bis-(nicotinoyl)hydrazine with phenacyl bromide in MeOH/iso-PrOH afforded 60% II.2Br- which showed 13% AGE breakage at 5 mM. Also disclosed is a method of treatment of a diabetic patient by administering the compds. as defined above, either singly or in combination with drugs for antidiabetic therapy.

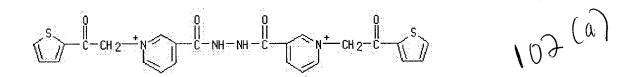
IT 333797-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel pyridinium compds. for treating diseases caused by diabetes and aging related complications)

RN 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)



# 2 Br -

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Fuller Fext

ACCESSION NUMBER: 2002:733981 HCAPLUS

DOCUMENT NUMBER: 137:247608

TITLE: Preparation of pyridinium compounds useful for the

treatment of advanced glycation end product

(AGE) - related diseases

INVENTOR(S): Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India

SOURCE: Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

#### PATENT INFORMATION:

PAT	CENT 1	NO.			KIN	D DAT	E	A	PPL:	ICAT:	ION 1	NO.		1	DATE	
							<del>-</del>	_								
$\underline{\mathbf{EP}}$	1243	<u> 581</u>			A1	.200	20925	E	P 20	001-	2010	<u> 57</u>		:	20010	321
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		IE,	SI,	LT,	LV,	FI, RO	, MK,	CY,	AL,	TR						
JР	2002	2751	<u>58</u>		A2	200	20925	<u>J</u>	P 20	001-	8181	9		. 2	20010	322
CN	1377	880			A	200	21106	<u>C</u>	N 2	001-	1124	<u>13</u>		:	20010	330
PRIORITY	APP	LN.	INFO	.:				E	P 2	001-	2010	<u>57</u>		A 2	20010	321

ΑВ Disclosed are novel pyridinium compds. useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth. Thus, N-benzenesulfonylisonicotinic hydrazide and EtO2CCH2Br were refluxed 24 h in Me2CHOH to give 60%

1-(2-ethoxy-2-oxoethyl)-4-(phenylsulfonylhydrazinocarbonyl)pyridinium bromide. Title compds. showed 14-95.36% AGE-breaking activity at 1-25 mM.

### IT 357625-39-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(claimed compd.; prepn. of pyridinium compds. useful for treatment of advanced glycation end product (AGE)-related diseases)

RN 357625-39-9 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dichloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & \begin{array}{c} 0 & \\ \\ \end{array} & \begin{array}{c} C - CH & 2 \end{array} & \begin{array}{c} + \\ \end{array} & \begin{array}{c} C - NH - NH - C \end{array} & \begin{array}{c} 0 & \\ \end{array} & \begin{array}{c} + \\ \end{array} & \begin{array}{c} CH & 2 - C \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - C - CH \end{array} & \begin{array}{c} -CH & 2 - CH & 2 - CH \end{array} & \begin{array}{c} -CH & 2 - CH & 2 - CH \end{array} & \begin{array}{c} -CH & 2 - CH & 2 - CH & 2 - CH \end{array} & \begin{array}{c} -CH & 2 - CH &$$

# 2 Cl -3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ь7 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Text ACCESSION NUMBER:

2002:727098 HCAPLUS

DOCUMENT NUMBER:

137:247606

TITLE:

Preparation of oxoethylpyridinium halides having AGE breaking activity for treatment of senile disease and

complication of diabetes

INVENTOR(S):

Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S):

Trent Pharmaceuticals Limited., India

SOURCE: Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002275158	A2	20020925	JP 2001-81819	20010322
EP 1243581	A1	20020925	EP 2001-201057	20010321

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

EP 2001-201057

A 20010321

OTHER SOURCE(S):

MARPAT 137:247606

N, N'-bis[3-carbonyl-1-(2-thien-2'-yl-2-oxoethyl)pyridinium]hydrazine dichloride, N,N'-bis[3-carbonyl-1-(2-cyclopropylamino-2oxoethyl)pyridinium]hydrazine dichloride, 1-(2-phenylamino-2-oxoethyl)-4-(phenylsulfonylhydrazinocarbonyl)pyridinium chloride or its pharmaceutically acceptable salt, 1-[2-(2',4'-dichlorophenyl)-2-oxoethyl]-3-[2-(methoxy)ethyloxycarbonyl]pyridinium bromide or its pharmaceutically acceptable salt, 1-(2-phenylamino-2-oxoethyl)-3-[(benzoyloxy)ethylaminocarbonyl]pyridinium chloride or its pharmaceutically acceptable salt, and other oxoethylpyridinium halides are prepd. The compds. are useful for treatment of senile disease and complication of diabetes as renal disease, nerve damage, retinopathy, atherosclerosis, microangiopathy, endodermis function disorder, and teeth discoloration. N-(benzenesulfonyl)isonicotinic acid hydrazide (1.0 g) was treated with 0.6 g Et bromoacetate in iso-PrOH under reflux for 24 h to give 1.05 g 1-(2-ethoxy-2-oxoethyl)-4-(phenylsulfonylhydrazinocarbonyl)pyr idinium bromide. The compds. showed good breaking activity. at 1-20 mM concn.

## IT 333797-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of oxoethylpyridinium halides having AGE breaking activity for treatment of senile disease and complication of diabetes)

RN 333797-95-8 HCAPLUS

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)

# 2 Br -

L7 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text Significant ACCESSION NUMBER:

2001:643433 HCAPLUS

DOCUMENT NUMBER:

135:210943

TITLE:

Preparation of novel pyridinium compounds for the management of aging-related and diabetic vascular

complications

INVENTOR (S):

Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S):

India

SOURCE:

U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S.

Ser. No. 598,410.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 5

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

						-													
US 2	20010	1852	24		A1 20010830					US 2	001-	8017	<u>78</u>		2	20010	309		
₩O 2	20010	2520	<u>80</u>		A1 2001			010412 <u>WO 1999-IB1683</u>							19991015				
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		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	, ID,	IL,		
		IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,		
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	, SG,	SI,		
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US 6	54620	057			В1		2002	1008		US 2	000-	5984	<u> 10</u>		:	20000	621		
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US 6	66080	94			В2		2003	0819											
US 2	20023	1032	2.8		A1		2002	0801		US 2	001-	9957	31		2	20011	129		
PRIORITY	APPI	LN.	INFO	.:						IN 1	999-	CA82	8		A :	19991	006		
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						\				IN 1	999-	CA82	7		A	19991	006		
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										US 2	001-	8017	78		в2 :	20010	309		
										US 2	001-	9397	02		A1 :	20010	828		
OTHER SOU	JRCE	(S):			MAR	PAT	135:	21094	13				_						

GI

The title compds. [I; R1 = (un)substituted hydrazino, 2-benzyloxyethoxy, AΒ 2-benzyloxyethylamino, etc.; R2 = halo, NO2, alkyl, etc.; R3 = 2-thienyl, phenylamino, Ph, etc.; X = halide, acetate, perchlorate, etc.; m = 0-2], useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, were prepd. Thus, reacting N,N'-bis-(nicotinoyl)hydrazine with phenacyl bromide in MeOH/iso-PrOH afforded 60% II.2Br- which showed 13% AGE breakage at 5 mM. Also disclosed is a method of treatment of a diabetic patient by administering the compds. as defined above, either singly or in combination with drugs for antidiabetic therapy.

#### IT 333797-95-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel pyridinium compds. for the management of aging-related and diabetic vascular complications)

333797-95-8 HCAPLUS RN

CN Pyridinium, 3,3'-(hydrazodicarbonyl)bis[1-[2-oxo-2-(2-thienyl)ethyl]-, dibromide (9CI) (CA INDEX NAME)

# 2 Br -

HCAPLUS COPYRIGHT 2004 ACS on STN ANSWER 7 OF 7

ACCESSION NUMBER:

2001:265392 HCAPLUS

DOCUMENT NUMBER:

134:280715

TITLE:

Preparation of novel pyridinium derivatives for the management of aging-related and diabetic vascular

complications

INVENTOR(S):

Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S):

India

SOURCE:

PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

		NO.							APPLICATION NO.						DATE		
								0412		WO 1	999-	IB16	83		1	9991	015
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		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM								
	RW:	,	•	,	,	,	•	SL,		•		•	•				-
								ΙE,						SE,	BF,	ВJ,	CF,
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								0423									
	1.222							0717		EP 1	999-	9739	<u>86</u>		1	9991	015
	1222																
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								MK,			001	C O O 1	r 4		-1	0001	015
								0325								9991	
	2917							0514								9991	
		000			C2		2003	1027			001-				_	9991	
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	<u>US 2001018524</u> A1 20010830 <u>US 2003032660</u> A1 20030213													20010309 20010828			
	6608094 B2 20030819								05 2	001-	9391	02		2	0010	020	
			20												0011	120	
		$\frac{1032}{0027}$						0801			001-						
<u>US 2003092744</u> A1 20030515							<u> </u>	002-	<u> </u>	04		2	0020	009			
<u>US 6624178</u> B2 20030923																	

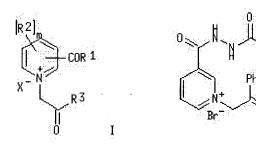
PRIORITY APPLN. INFO.:

IN	1999-CA828	A	19991006
IN	1999-CA827	A	19991006
WO	1999-IB1683	W	19991015
WO	1999-IB1687	A1	19991015
US	2000-590143	A2	20000609
US	2000-598410	A2	20000621
US	2001-801778	B2	20010309
US	2001-939702	A1	20010828

OTHER SOURCE(S):

MARPAT 134:280715

GΙ



The title compds. [I; R1 = R4R5, NR7NR7R9; R2 = F, C1, Br, etc.; R3 = R7, OR7, etc.; R4 = NR7R6O, NR7R6NR7, OR6O, etc.; R5 = alkyl, aryl, heteroaryl, etc.; R6 = alkyl; R7 = H, alkyl, aryl, etc.; X = halide, acetate, perchlorate, etc.; m = 0-2], useful for the management of diabetes and aging-related vascular complications, including kidney disease, nerve damage, atherosclerosis, retinopathy, dermatol. disorders and discoloration of teeth, by breaking preformed AGE, were prepd. and formulated. Thus, reacting N,N'-bis(nicotinoyl)hydrazine with phenacyl bromide in MeOH/iso-PrOH afforded 60% II which showed 13% AGE breakage at 5 mM. The invention further discloses a method of treatment of a diabetic patient by administering the compds. I, either singly or in combination with drugs for antidiabetic therapy.

Π

# IT 333797-95-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridinium derivs. for the management of aging-related and diabetic vascular complications)

RN <u>333797-95-8</u> HCAPLUS

# 2 Br =

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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FILE 'REGISTRY' ENTERED AT 08:36:10 ON 09 AUG 2004

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L2 0 S L1

L3 0 S L1 FULL

L4 STRUCTURE UPLOADED

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L6 13 S L4 FULL

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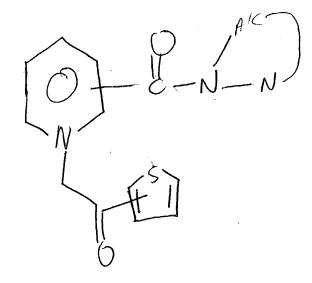
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                             16 17 18
chain bonds:
    1-7 7-8 8-10 8-19 11-13 11-15 16-18
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-17
exact/norm bonds :
    1-7 8-10 8-19 11-13 11-15 15-16 15-17 16-18
exact bonds :
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems :
    containing 1:
G1:0,S
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
Generic attributes:
    19:
    Saturation
                             : Unsaturated
Element Count :
    Node 19: Limited
         s,s1
```

chain nodes : 7 8 10 11 13 19

C,C4



```
chain nodes :
```

```
7 8 10 11 13 17 21 22 23
ring nodes :
   1 2 3 4 5 6
ring/chain nodes :
   15 16 20
chain bonds :
   1-7 7-8 8-10 8-17 11-13 11-15 20-21 20-23 22-23
ring/chain bonds:
15-16 16-20 ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   1-7 8-10 8-17 11-13 11-15 15-16 16-20 20-21 20-23 22-23
exact bonds :
   7-8
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
   containing 1:
G1:0,S
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
   12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 20:CLASS 21:CLASS 22:Atom 23:CLASS
Generic attributes :
   17:
   Saturation
                        : Unsaturated
Element Count :
   Node 17: Limited
```

s,s1

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```
7 8 10 11 13 17 21 22 23
ring nodes :
   1 2 3 4 5 6
ring/chain nodes :
    15 16 20
chain bonds:
    1-7 7-8 8-10 8-17 11-13 11-15 20-21 20-23 22-23
ring/chain bonds:
    15-16 16-20
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   1-7 8-10 8-17 11-13 11-15 15-16 16-20 20-21 20-23 22-23
exact bonds :
   7-8
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems:
   containing 1:
G1:0,5
Match level:
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS
    12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 20:CLASS 21:CLASS 22:Atom 23:CLASS
Generic attributes :
   17:
   Saturation
                         : Unsaturated
Element Count :
   Node 17: Limited
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s,s1